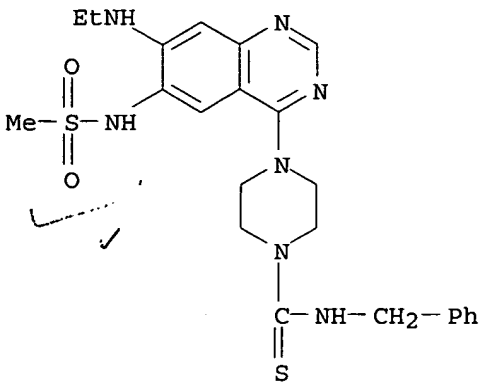

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 15 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:573671 CAPLUS
DOCUMENT NUMBER: 133:177183
TITLE: Preparation of quinazoline derivatives as angiogenesis inhibitors
INVENTOR(S): Hennequin, Laurent Francois Andre; Ple, Patrick; Stokes, Elaine Sophie Elizabeth; Mckerrecher, Darren
PATENT ASSIGNEE(S): Astrazeneca UK Limited, UK; Zeneca-Pharma S.A.
SOURCE: PCT Int. Appl., 346 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000047212	A1	20000817	WO 2000-GB373	20000208
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2362715	AA	20000817	CA 2000-2362715	20000208
EP 1154774	A1	20011121	EP 2000-902730	20000208
EP 1154774	B1	20050622		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200102314	T2	20020121	TR 2001-2314	20000208
BR 2000008128	A	20020213	BR 2000-8128	20000208
HU 200104964	A2	20020429	HU 2001-4964	20000208
JP 2002536414	T2	20021029	JP 2000-598164	20000208
EE 200100409	A	20021216	EE 2001-409	20000208
AU 763618	B2	20030731	AU 2000-24475	20000208
NZ 513204	A	20040430	NZ 2000-513204	20000208
CN 1597667	A	20050323	CN 2004-10058982	20000208
TR 200500745	T2	20050523	TR 2005-745	20000208

NZ 530832	A	20050527	NZ 2000-530832	20000208
EP 1553097	A1	20050713	EP 2005-4285	20000208
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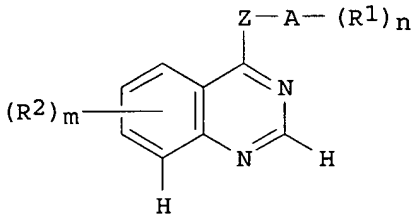
AT 298237	E	20050715	AT 2000-902730	20000208
RU 2262935	C2	20051027	RU 2001-124816	20000208
PT 1154774	T	20051031	PT 2000-902730	20000208
ES 2242596	T3	20051116	ES 2000-902730	20000208
ZA 2001006340	A	20021101	ZA 2001-6340	20010801
NO 2001003882	A	20011009	NO 2001-3882	20010809
NO 321604	B1	20060612		
HK 1041212	A1	20051202	HK 2002-102781	20020412
US 7074800	B1	20060711	US 2002-913020	20020506
NO 2005002773	A	20011009	NO 2005-2773	20050608
US 2006004017	A1	20060105	US 2005-169122	20050629
JP 2006273860	A2	20061012	JP 2006-129249	20060508

PRIORITY APPLN. INFO.:

EP 1999-400305	A	19990210
EP 2000-902730	A3	20000208
JP 2000-598164	A3	20000208
WO 2000-GB373	W	20000208
US 2002-913020	A3	20020506

OTHER SOURCE(S): MARPAT 133:177183
ED Entered STN: 18 Aug 2000
GI



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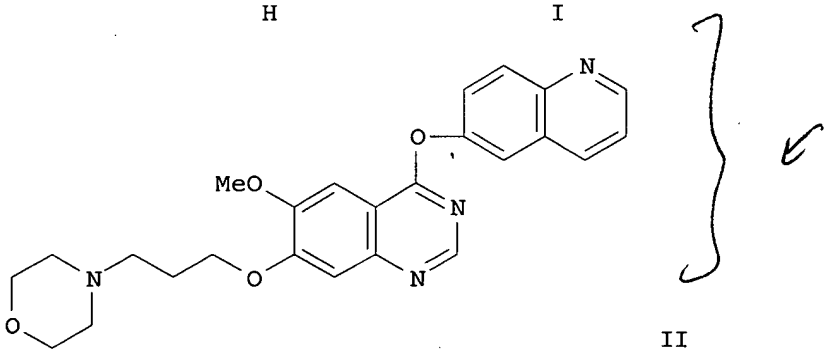


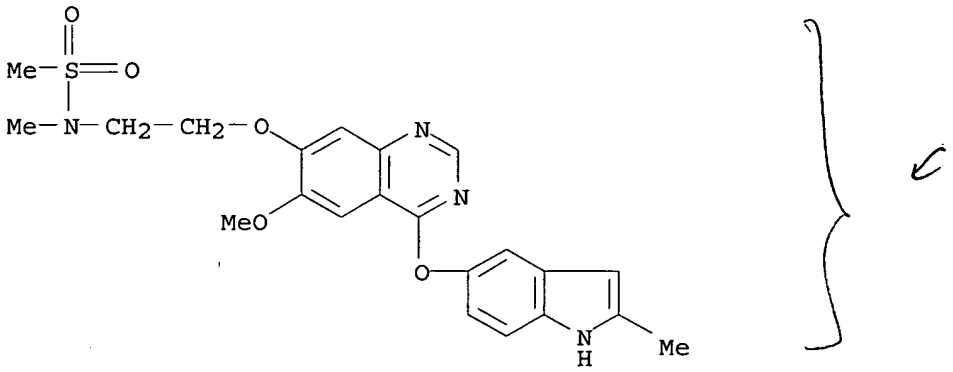
II

AB The title compds. (I) [wherein A = an 8-, 9-, 10-, 12- or 13-membered bicyclic or tricyclic ring optionally containing 1-3 O, N, and/or S heteroatoms; Z = O, NH, S, CH2, or a bond; n = 0-5; m = 0-3; R2 = H, OH, halo, CN, NO2, CF3, alkyl(sulfanyl), alkoxy, NR3N4, or R5X1; R3 and R4 = independently H or alkyl; X1 = a bond, O, CH2, OC(O), CO, S, SO, SO2, NR6CO, CONR7, SO2R8, NR9SO2, or NR10; R5 = H or (un)substituted alkyl, alkenyl, alkynyl, or heterocyclyl, etc.; R6-R10 = independently H or (alkoxy)alkyl] were prepared for use in the production of an antiangiogenic and/or vascular permeability reducing effect in warm-blooded animals. For

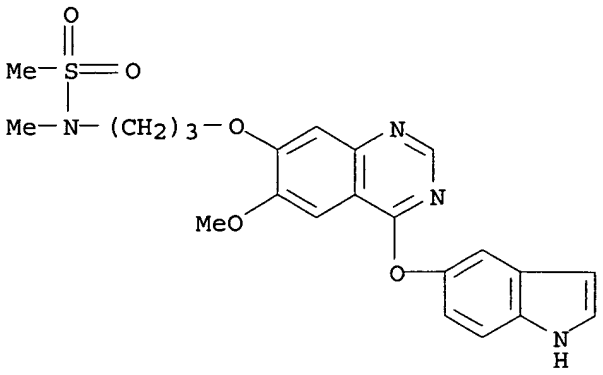
instance, II was synthesized in a 9-step sequence starting with the cyclization of 2-amino-4-benzyloxy-5-methoxybenzamide using Gold's reagent in dioxane to form 7-benzyloxy-6-methoxy-3,4-dihydroquinazolin-4-one (84%). I and the pharmaceutically acceptable salts thereof inhibit the effects of VEGF, a property of value in the treatment of a number of disease states including cancer and rheumatoid arthritis (no data).

IT **288382-76-3P**, 6-Methoxy-4-(2-methylindol-5-yloxy)-7-[2-(N-methyl-N-methylsulfonylamino)ethoxy]quinazoline **288384-42-9P**, 4-(Indol-5-yloxy)-6-methoxy-7-[3-(N-methyl-N-methylsulfonylamino)propoxy]quinazoline **288384-49-6P**, 6-Methoxy-4-(2-methylindol-5-yloxy)-7-[3-(N-methyl-N-methylsulfonylamino)propoxy]quinazoline **288384-50-9P**, 6-Methoxy-7-[3-(N-methyl-N-methylsulfonylamino)propoxy]-4-(quinolin-7-yloxy)quinazoline **288384-51-0P**, 6-Methoxy-7-[3-(N-methyl-N-methylsulfonylamino)propoxy]-4-(4-methylquinolin-7-yloxy)quinazoline **288384-59-8P**, 4-(2,3-Dimethylindol-5-yloxy)-6-methoxy-7-[3-(N-methyl-N-methylsulfonylamino)propoxy]quinazoline **288384-78-1P**, 6-Methoxy-4-(3-methylindol-5-yloxy)-7-[3-(N-methyl-N-methylsulfonylamino)propoxy]quinazoline
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(angiogenesis inhibitor; preparation of quinazolines as angiogenesis inhibitors by cyclization of 2-aminobenzamides and subsequent derivatization)

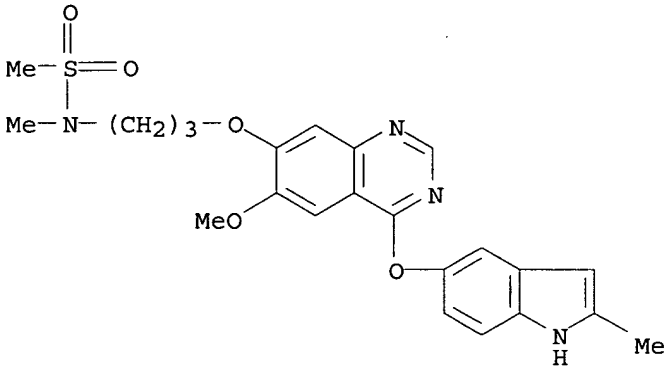
RN 288382-76-3 CAPLUS
CN Methanesulfonamide, N-[2-[[6-methoxy-4-[(2-methyl-1H-indol-5-yl)oxy]-7-quinazolinyl]oxy]ethyl]-N-methyl- (9CI) (CA INDEX NAME)



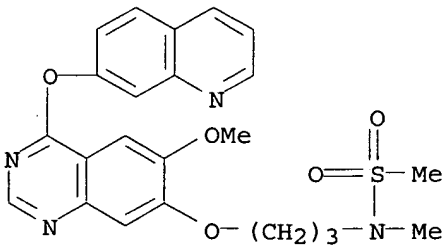
RN 288384-42-9 CAPLUS
CN Methanesulfonamide, N-[3-[[4-(1H-indol-5-yloxy)-6-methoxy-7-quinazolinyl]oxy]propyl]-N-methyl- (9CI) (CA INDEX NAME)



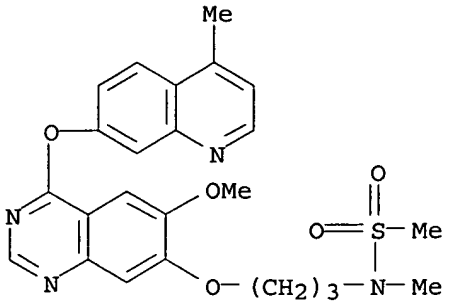
RN 288384-49-6 CAPLUS
CN Methanesulfonamide, N-[3-[[6-methoxy-4-[(2-methyl-1H-indol-5-yl)oxy]-7-quinazolinyl]oxy]propyl]-N-methyl- (9CI) (CA INDEX NAME)



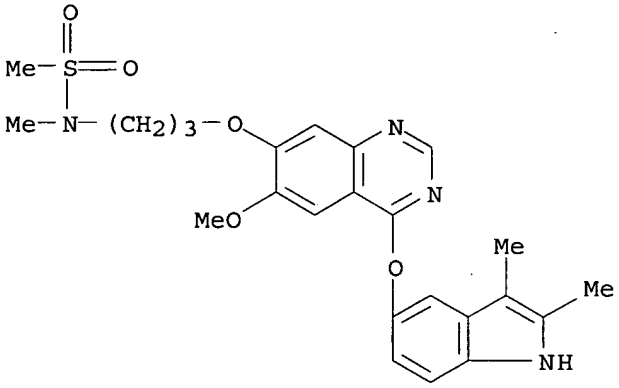
RN 288384-50-9 CAPLUS
CN Methanesulfonamide, N-[3-[[6-methoxy-4-(7-quinolinyloxy)-7-quinazolinyl]oxy]propyl]-N-methyl- (9CI) (CA INDEX NAME)



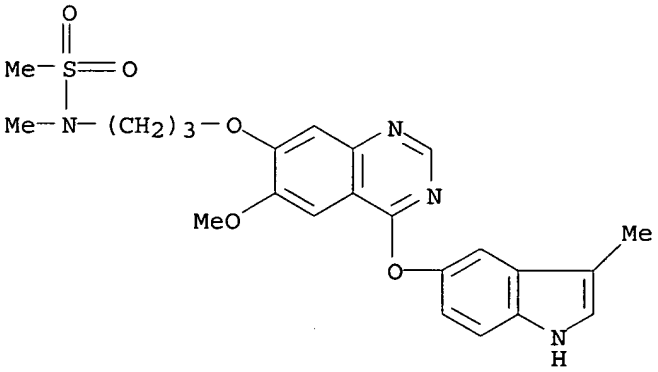
RN 288384-51-0 CAPLUS
CN Methanesulfonamide, N-[3-[[6-methoxy-4-[(4-methyl-7-quinolinyl)oxy]-7-quinazolinyl]oxy]propyl]-N-methyl- (9CI) (CA INDEX NAME)



RN 288384-59-8 CAPLUS
CN Methanesulfonamide, N-[3-[[4-[(2,3-dimethyl-1H-indol-5-yl)oxy]-6-methoxy-7-quinazolinyl]oxy]propyl]-N-methyl- (9CI) (CA INDEX NAME)



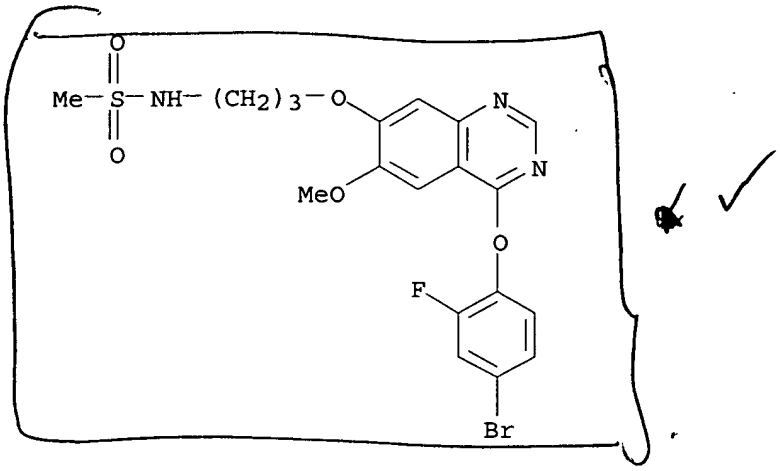
RN 288384-78-1 CAPLUS
CN Methanesulfonamide, N-[3-[[6-methoxy-4-[(3-methyl-1H-indol-5-yl)oxy]-7-quinazolinyl]oxy]propyl]-N-methyl- (9CI) (CA INDEX NAME)



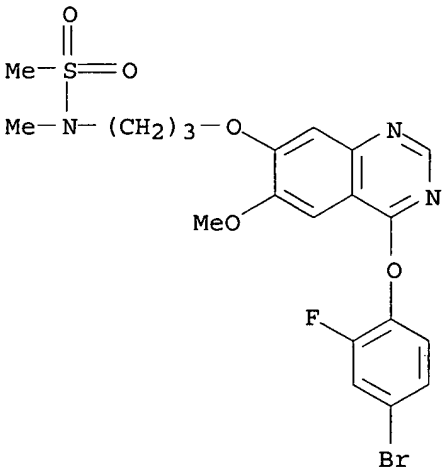
IT **288384-46-3P**, 4-(4-Bromo-2-fluorophenoxy)-6-methoxy-7-[3-(N-methylsulfonylamino)propoxy]quinazoline **288384-47-4P**, 4-(4-Bromo-2-fluorophenoxy)-6-methoxy-7-[3-(N-methyl-N-methylsulfonylamino)propoxy]quinazoline **288384-48-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)
(intermediate; preparation of quinazolines as angiogenesis inhibitors by cyclization of 2-aminobenzamides and subsequent derivatization)

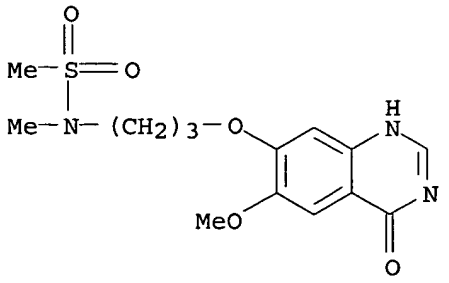
RN 288384-46-3 CAPLUS
CN Methanesulfonamide, N-[3-[[4-(4-bromo-2-fluorophenoxy)-6-methoxy-7-quinazolinyl]oxy]propyl]- (9CI) (CA INDEX NAME)



RN 288384-47-4 CAPLUS
CN Methanesulfonamide, N-[3-[[4-(4-bromo-2-fluorophenoxy)-6-methoxy-7-quinazolinyl]oxy]propyl]-N-methyl- (9CI) (CA INDEX NAME)



RN 288384-48-5 CAPLUS
CN Methanesulfonamide, N-[3-[(1,4-dihydro-6-methoxy-4-oxo-7-quinazolinyl)oxy]propyl]-N-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 16 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:219795 CAPLUS
DOCUMENT NUMBER: 128:257447
TITLE: Preparation of nitrogenous heterocyclic compounds inhibiting phosphorylation of platelet-derived growth factors (PDGF) receptors
INVENTOR(S): Matsuno, Kenji; Ichimura, Michio; Nomoto, Yuji; Fujiwara, Shigeki; Ide, Shinichi; Tsukuda, Eiji; Irie, Junko; Oda, Shoji
PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan
SOURCE: PCT Int. Appl., 312 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9814431	A1	19980409	WO 1997-JP3510	19971001
W: AU, BG, BR, CA, CN, CZ, HU, JP, KR, MX, NO, NZ, PL, RO, SG, SI, SK, UA, US, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2239227	AA	19980409	CA 1997-2239227	19971001
AU 9744708	A1	19980424	AU 1997-44708	19971001
AU 719392	B2	20000511		
EP 882717	A1	19981209	EP 1997-943133	19971001
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
CN 1208404	A	19990217	CN 1997-191741	19971001
MX 9804356	A	20000831	MX 1998-4356	19980601
US 6169088	B1	20010102	US 1998-88199	19980601
US 6207667	B1	20010327	US 2000-481544	20000112
US 2002068734	A1	20020606	US 2000-734918	20001213
US 6472391	B2	20021029		
US 2003229077	A1	20031211	US 2002-227302	20020826
US 6750218	B2	20040615		

PRIORITY APPLN. INFO.:	JP 1996-260743	A	19961001
	WO 1997-JP3510	W	19971001
	US 1998-88199	A3	19980601
	US 2000-481544	A3	20000112
	US 2000-734918	A3	20001213

OTHER SOURCE(S): MARPAT 128:257447
ED Entered STN: 18 Apr 1998